

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JUL 20 Powerful new interactive analysis and visualization software,
STN AnaVist, now available
NEWS 4 AUG 11 STN AnaVist workshops to be held in North America
NEWS 5 AUG 30 CA/CAPLUS - Increased access to 19th century research documents
NEWS 6 AUG 30 CASREACT - Enhanced with displayable reaction conditions
NEWS 7 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS 8 OCT 03 MATHDI removed from STN
NEWS 9 OCT 04 CA/CAPLUS-Canadian Intellectual Property Office (CIPO) added
to core patent offices
NEWS 10 OCT 06 STN AnaVist workshops to be held in North America
NEWS 11 OCT 13 New CAS Information Use Policies Effective October 17, 2005
NEWS 12 OCT 17 STN(R) AnaVist(TM), Version 1.01, allows the export/download
of CAPLUS documents for use in third-party analysis and
visualization tools
NEWS 13 OCT 27 Free KWIC format extended in full-text databases
NEWS 14 OCT 27 DIOGENES content streamlined
NEWS 15 OCT 27 EPFULL enhanced with additional content

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that
specific topic.

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agreement. Please note that this agreement limits use to scientific
research. Use for software development or design or implementation
of commercial gateways or other similar uses is prohibited and may
result in loss of user privileges and other penalties.

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 08:50:39 ON 02 NOV 2005

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:50:46 ON 02 NOV 2005

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STRUCTURE FILE UPDATES: 31 OCT 2005 HIGHEST RN 866452-21-3
DICTIONARY FILE UPDATES: 31 OCT 2005 HIGHEST RN 866452-21-3

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=> logoff hold

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.43	0.64

SESSION WILL BE HELD FOR 60 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 08:50:52 ON 02 NOV 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

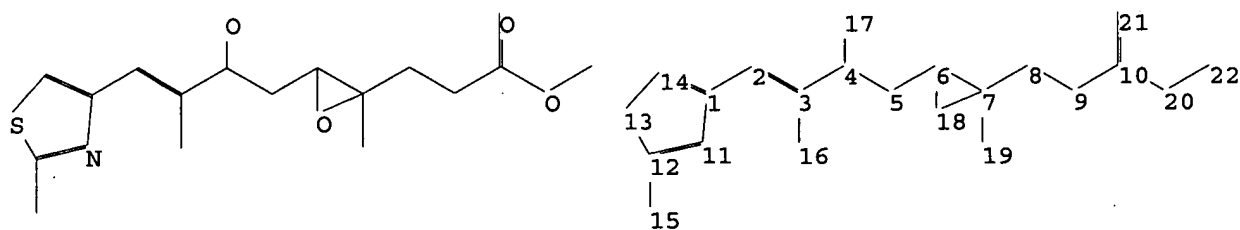
PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 08:57:07 ON 02 NOV 2005
FILE 'REGISTRY' ENTERED AT 08:57:07 ON 02 NOV 2005
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.43	0.64

=>

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary
files\10031495\10031495 Intermediate II.str



chain nodes :
 2 3 4 5 8 9 10 15 16 17 19 20 21 22
 ring nodes :
 1 6 7 11 12 13 14 18
 chain bonds :
 1-2 2-3 3-4 3-16 4-5 4-17 5-6 7-8 7-19 8-9 9-10 10-20 10-21 12-15
 20-22
 ring bonds :
 1-11 1-14 6-7 6-18 7-18 11-12 12-13 13-14
 exact/norm bonds :
 1-11 1-14 4-17 6-7 6-18 7-18 10-20 10-21 11-12 12-13 13-14 20-22
 exact bonds :
 1-2 2-3 3-4 3-16 4-5 5-6 7-8 7-19 8-9 9-10 12-15

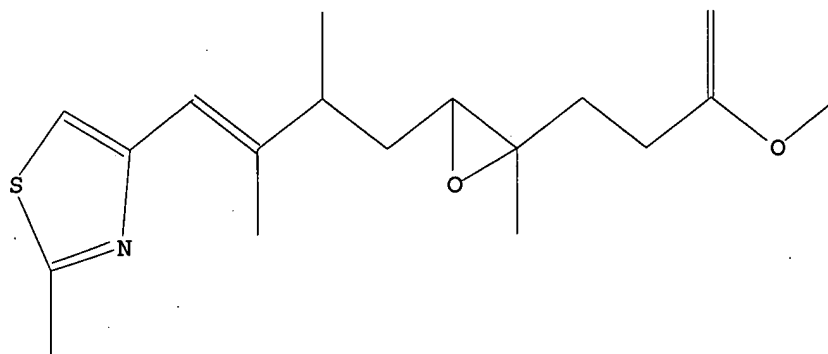
Match level :
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 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:Atom
 19:CLASS 20:CLASS 21:CLASS 22:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> search l1 sss sam

SAMPLE SEARCH INITIATED 08:57:39 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2 TO 124
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> search l1 sss full
FULL SEARCH INITIATED 08:57:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 65 TO ITERATE

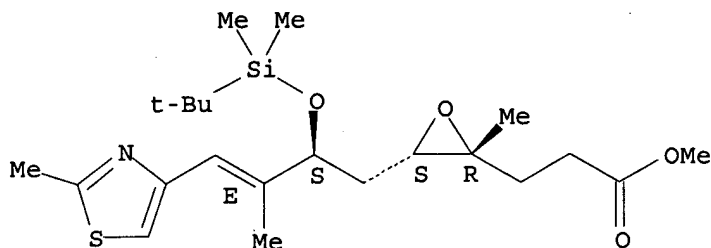
100.0% PROCESSED 65 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L3 1 SEA SSS FUL L1

=> d scan

L3 1 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN Oxiranepropanoic acid, 3-[(2S,3E)-2-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-3-methyl-4-(2-methyl-4-thiazolyl)-3-butenyl]-2-methyl-, methyl ester, (2R,3S)-(9CI)
MF C22 H37 N O4 S Si

Absolute stereochemistry.
Double bond geometry as shown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
161.76	161.97

FILE 'CAPLUS' ENTERED AT 08:58:14 ON 02 NOV 2005
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FILE COVERS 1907 - 2 Nov 2005 VOL 143 ISS 19
 FILE LAST UPDATED: 1 Nov 2005 (20051101/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
 They are available for your review at:

<http://www.cas.org/infopolicy.html>

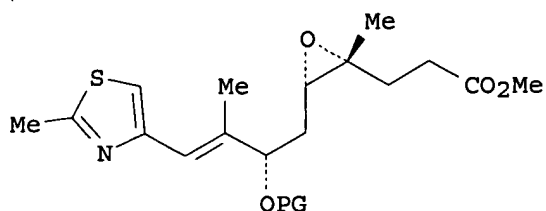
=> l3

L4 2 L3

=> d l4 1-2 ti fbib abs

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
 TI Process for the production of epothilone B and derivatives as well as
 intermediate products for this process
 AN 2001:78386 CAPLUS
 DN 134:115799
 TI Process for the production of epothilone B and derivatives as well as
 intermediate products for this process
 IN Mulzer, Johann; Martin, Harry
 PA Schering Aktiengesellschaft, Germany
 SO PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001007439	A2	20010201	WO 2000-US20064	20000724
	WO 2001007439	A3	20010503		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
				US 1999-145005P	P 19990722
EP	1226142	A2	20020731	EP 2000-948907	20000724
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
				US 1999-145005P	P 19990722
				WO 2000-US20064	W 20000724
JP	2003505459	T2	20030212	JP 2001-512523	20000724
				US 1999-145005P	P 19990722
				WO 2000-US20064	W 20000724
NO	2002000308	A	20020321	NO 2002-308	20020121
				US 1999-145005P	P 19990722
				WO 2000-US20064	W 20000724
OS	CASREACT 134:115799; MARPAT 134:115799				
GI					



I

AB The present invention is directed to a process for the production of epothilone B compds., the improvement comprising preparing said compds. by cyclization of a compound produced from an intermediate of formula (I) wherein PG is a protecting group.

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
 TI How stable are epoxides? A novel synthesis of epothilone B
 AN 2000:132421 .CAPLUS
 DN 132:279035
 TI How stable are epoxides? A novel synthesis of epothilone B
 AU Martin, Harry J.; Drescher, Martina; Mulzer, Johann
 CS Institut fur Organische Chemie der Universitat Wien, Vienna, 1090, Austria
 SO Angewandte Chemie, International Edition (2000), 39(3), 581-583
 CODEN: ACIEF5; ISSN: 1433-7851
 PB Wiley-VCH Verlag GmbH
 DT Journal
 LA English

AB The authors present a synthesis of epothilone B in which the epoxide is introduced early and then deliberately carried through the hardships of a multistep synthesis. This epoxide has proven its stability under the following conditions: 1 - reductive (neutral DIBAL), ionic (selectride), and metallic (Zn); 2 - oxidative (osmium tetroxide/sodium periodate, sodium chlorite); 3 - basic (fluoride in aprotic solvents, DMAP, LDA, enolates); 4 - electrophilic (acylation with an acyl chloride in Yamaguchi reaction). Of all reagents applied, only dilute acid has led to (and in this case desired) epoxide opening.

RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff hold

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
13.85	175.82

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-1.46	-1.46

CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 09:09:26 ON 02 NOV 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 JUL 20 Powerful new interactive analysis and visualization software,
STN AnaVist, now available
NEWS 4 AUG 11 STN AnaVist workshops to be held in North America
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NEWS 7 SEP 09 ACD predicted properties enhanced in REGISTRY/ZREGISTRY
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NEWS 13 OCT 27 Free KWIC format extended in full-text databases
NEWS 14 OCT 27 DIOGENES content streamlined
NEWS 15 OCT 27 EPFULL enhanced with additional content

NEWS EXPRESS JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005

NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS INTER General Internet Information
NEWS LOGIN Welcome Banner and News Items
NEWS PHONE Direct Dial and Telecommunication Network Access to STN
NEWS WWW CAS World Wide Web Site (general information)

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 11:07:42 ON 02 NOV 2005

=> logoff hold

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FULL ESTIMATED COST

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 11:07:48 ON 02 NOV 2005

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSSPTA1623PAZ

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *

SESSION RESUMED IN FILE 'HOME' AT 12:00:06 ON 02 NOV 2005
FILE 'HOME' ENTERED AT 12:00:06 ON 02 NOV 2005

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:00:14 ON 02 NOV 2005
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STRUCTURE FILE UPDATES: 31 OCT 2005 HIGHEST RN 866452-21-3
DICTIONARY FILE UPDATES: 31 OCT 2005 HIGHEST RN 866452-21-3

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*

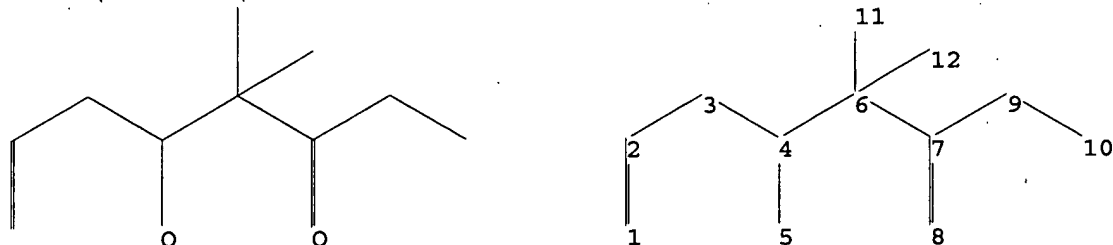
Structure search iteration limits have been increased. See HELP SLIMITS
for details.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>

Uploading C:\Documents and Settings\PZucker\My Documents\Examination Auxillary
files\10031495\10031495 clm 7 num 16.str



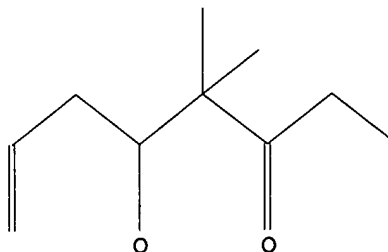
chain nodes :
1 2 3 4 5 6 7 8 9 10 11 12
chain bonds :

1-2 2-3 3-4 4-5 4-6 6-7 6-11 6-12 7-8 7-9 9-10
exact/norm bonds :
4-5 7-8
exact bonds :
1-2 2-3 3-4 4-6 6-7 6-11 6-12 7-9 9-10

Hydrogen count :
1:>= minimum 2 2:>= minimum 1 3:>= minimum 2 4:>= minimum 1 9:>= minimum 2
10:>= minimum 3
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS
10:CLASS 11:CLASS 12:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> search l1 sss sam
SAMPLE SEARCH INITIATED 12:00:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 837 TO ITERATE

100.0% PROCESSED 837 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 15005 TO 18475
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> search l1 sss full
FULL SEARCH INITIATED 12:00:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 16086 TO ITERATE

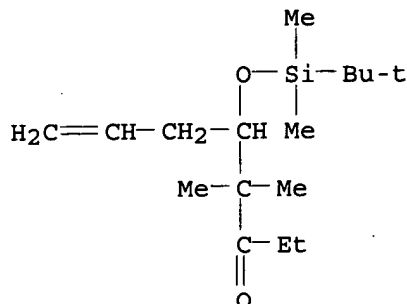
100.0% PROCESSED 16086 ITERATIONS 3 ANSWERS
SEARCH TIME: 00.00.01

L3 3 SEA SSS FUL L1

=> d l3

L3 ANSWER 1 OF 3 REGISTRY COPYRIGHT 2005 ACS on STN
RN 219990-08-6 REGISTRY
ED Entered STN: 25 Feb 1999

CN 7-Octen-3-one, 5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-4,4-dimethyl-
 (9CI) (CA INDEX NAME)
 FS 3D CONCORD
 MF C16 H32 O2 Si
 SR CA
 LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus
 COST IN U.S. DOLLARS
 FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
165.32	165.53

FILE 'CAPLUS' ENTERED AT 12:04:03 ON 02 NOV 2005
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FILE COVERS 1907 - 2 Nov 2005 VOL 143 ISS 19
 FILE LAST UPDATED: 1 Nov 2005 (20051101/ED)

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<http://www.cas.org/infopolicy.html>

=> l3

L4 15 L3

=> l3/prep

15 L3

3379321 PREP/RL

L5

9 L3/PREP

(L3 (L) PREP/RL)

=> d 15 7-9 ti fbib abs

L5 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
TI Preparation of epothilone analogs as anticancer agents
AN 1998:405952 CAPLUS
DN 129:81625
TI Preparation of epothilone analogs as anticancer agents
IN Nicolaou, Costa Kyriacos; He, Yun; Ninkovic, Sacha; Pastor, Joaquin;
Roschangar, Frank; Sarabia, Francisco; Vallberg, Hans; Vourloumis,
Dionisios; Winssinger, Nicolas; Yang, Zhen; King, Nigel Paul; et al.
PA Novartis A.-G., Switz.; Scripps Research Institute
SO PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9825929	A1	19980618	WO 1997-EP7011	19971212
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1996-32864P	P 19961213
				US 1997-856533	A 19970514
				US 1997-923869	A2 19970904
	US 6441186	B1	20020827	US 1997-923869	19970904
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				US 1997-856533	B2 19970514
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				US 1996-32864P	P 19961213
				US 1997-856533	A 19970514
				US 1997-923869	A 19970904
				WO 1997-EP7011	W 19971212
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	AU 746597	B2	20020502		
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				US 1997-923869	A 19970904
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				US 1997-856533	A 19970514
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				US 1997-923869	A 19970904
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				US 1997-923869	A 19970904
				WO 1997-EP7011	W 19971212
	US 6660758	B1	20031209	US 1999-319885	19990924
				US 1996-32864P	P 19961213
				WO 1997-EP7011	W 19971212

US 2004127432	A1	20040701	US 2003-732698	20031209
			US 1996-32864P	P 19961213
			US 1997-856533	B2 19970514
			US 1997-923869	A2 19970904
			WO 1997-EP7011	W 19971212
			US 1999-319885	A3 19990924

PATENT FAMILY INFORMATION:

FAN 1999:819378

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9967252	A2	19991229	WO 1999-EP4287	19990621
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FAN 1999:819379

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FAN 2002:327944

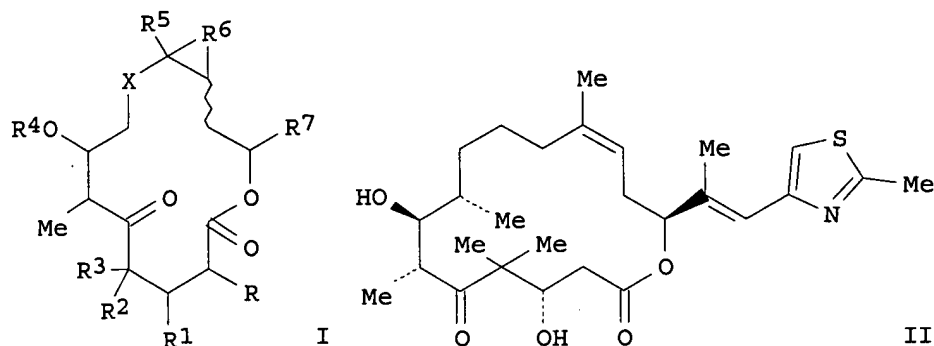
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OS MARPAT 129:81625
GI



AB Epothilone A, epothilone B, analogs of epothilone and libraries of epothilone analogs of formula I [X = (CH₂)_n; n = 1-5; R₁ = OH, OMe, absent; R₂, R₃ = H, CH₂, Me; R₄ = H, Me, protecting group; R₅ = H, Me, CHO, (substituted) CO₂H, etc.; R₆ = O, CH₂, absent; R₇ = thiazolealkyl, etc.] are synthesized. Epothilone A and B are known anticancer agents that derive their anticancer activity by the prevention of mitosis through the induction and stabilization of microtubulin assembly. Several of the analogs are demonstrated to have a superior cytotoxic activity as compared to epothilone A or epothilone B as demonstrated by their enhanced ability to induce the polymerization and stabilization of microtubules. Thus, II was prepared and was shown to induce tubulin polymerization at 94% relative to GTP, and inhibit carcinoma cell growth.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

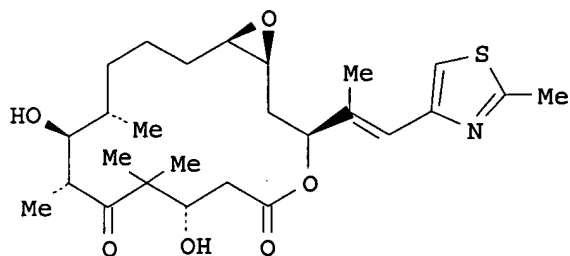
L5 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
TI Total Syntheses of Epothilones A and B via a Macrolactonization-Based Strategy

AN 1997:528753 CAPLUS
DN 127:135660
TI Total Syntheses of Epothilones A and B via a Macrolactonization-Based Strategy
AU Nicolaou, K. C.; Ninkovic, S.; Sarabia, F.; Vourloumis, D.; He, Y.; Vallberg, H.; Finlay, M. R. V.; Yang, Z.
CS Department of Chemistry and The Skaggs, Institute for Chemical Biology, La Jolla, CA, 92037, USA
SO Journal of the American Chemical Society (1997), 119(34), 7974-7991
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
OS CASREACT 127:135660
GI

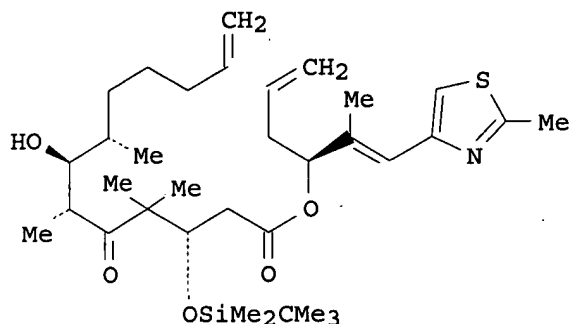
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The total syntheses of epothilones A (I) (R = H) and B I (R = Me) and several analogs are described. The reported strategy relies on a macrolactonization approach and features selective epoxidn. of the macrocycle double bond in precursors II (R = H, Me) as well as high convergency and flexibility. Building blocks (S)-MeCH₂COC(Me)₂CH(OSiMe₂CMe₃)CH₂CO₂H, (S)-Me₃CMe₂SiOCH₂CH(Me)CH₂CH₂CH₂COR (R = H, Me), (III) [R₂ = CH₂CH₂P+(Ph)₃I⁻; CH₂CHO] were constructed by asym. processes and coupled via Wittig, aldol, and macrolactonization reactions to afford the basic skeleton of epothilones and that of several of their analogs by a relatively short route. The utilization of intermediate III [R₂ = (E)-CH₂CH=C(Me)CH₂CH₂CH₂I], obtained via a stereoselective Wittig reaction and its Enders coupling to SAMP hydrazone, in combination with a stereoselective aldol reaction with the modified substrate (S)-MeCH₂COC(Me)₂CH(OSiMe₂CMe₃)CH₂CH₂OSiMe₂CMe₃ improved the stereoselectivity and efficiency of the total synthesis of these new and highly potent microtubule binding antitumor agents.

L5 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2005 ACS on STN
TI Total synthesis of epothilone A: the olefin metathesis approach
AN 1997:117381 CAPLUS
DN 126:199371
TI Total synthesis of epothilone A: the olefin metathesis approach
AU Yang, Zhen; He, Yun; Vourloumis, Dionisios; Vallberg, Hans; Nicolaou, K. C.
CS Department Chemistry Skaggs Institute Chemical Biology, Scripps Research Institute, La Jolla, CA, 92037, USA
SO Angewandte Chemie, International Edition in English (1997), 36(1/2), 166-168
CODEN: ACIEAY; ISSN: 0570-0833
PB VCH
DT Journal
LA English
OS CASREACT 126:199371
GI



I



II

AB The asym. total synthesis of epothilone A (I) from EtCOCMe₂CHO, (S)-H₂C:CH(CH₂)₃CHMeCHO and Et 2-methylthiazole-4-carboxylate via metathesis of olefin II is described.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS

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FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

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ENTRY	SESSION
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CA SUBSCRIBER PRICE

SESSION WILL BE HELD FOR 60 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 12:06:38 ON 02 NOV 2005